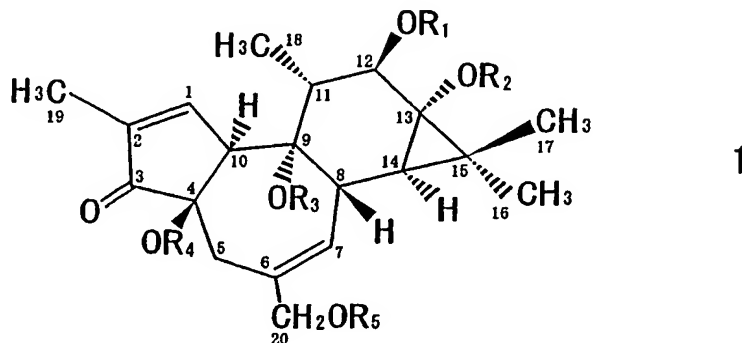


CLAIMS

1. An antiviral preparation characterized by comprising as an active ingredient, at least a phorbol derivative of formula 1:



wherein R_1 is a group of $-(CH_2)_aX(CH_2)_bCH_3$ wherein X is O or S, a is a number of 1 to 3, and b is a number of 0 to 5, a group of $-(CH_2)_cX(CH_2)_dYCH_3$ wherein X and Y are O or S, c is a number of 1 to 3, and d is a number of 1 to 5, a group of $-CO(CH_2)_eCH_3$ wherein e is a number of 0 to 12, or a group of $-(CH_2)_fCH_3$ wherein f is a number of 0 to 5,

R_2 is a group of $-CO(CH_2)_nCH_3$ wherein n is a number of 3 to 12, and

R_3 , R_4 and R_5 are independently of one another, hydrogen atom, or an aliphatic or aromatic carboxylic acid residue, and

having a specific safety index $S.I. = CC_{50}/EC_{50}$ of 10 or more wherein EC_{50} means a concentration at which HIV-1 induced cytopathogenic effect (CPE) in MT-4 cell is inhibited by 50%, and CC_{50} means a concentration at which survival of MT-4 cell in a cell proliferation test is reduced by 50%.

2. The antiviral preparation according to claim 1, wherein R_1 in formula 1 is a group of $-(CH_2)_aX(CH_2)_bCH_3$ wherein X is O or S, a is a number of 1 to 3, and b is a number of 0 to 5.

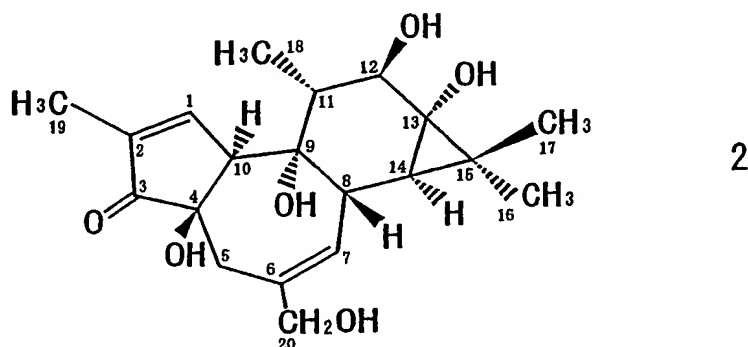
3. The antiviral preparation according to claim 1, wherein R_1 in formula 1 is a group of $-(CH_2)_cX(CH_2)_dYCH_3$ wherein X and Y are O or S, c is a number of 1 to 3, and d is a number of 1 to 5.

4. The antiviral preparation according to claim 1, wherein R_1 in formula 1 is a group of $-CO(CH_2)_eCH_3$ wherein e is a number of 0 to 12.

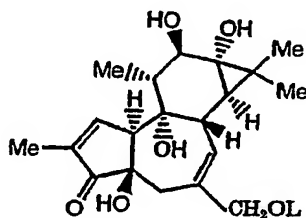
5. The antiviral preparation according to claim 1, wherein R₁ in formula 1 is a group of $-(CH_2)_fCH_3$ wherein f is a number of 0 to 5.

6. A process for producing the phorbol derivative of formula 1 according to claim 1, comprising:

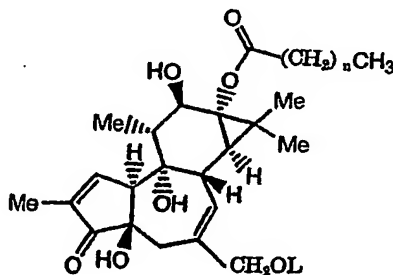
converting a group of -CH₂OH on a naturally occurring or synthetic intermediate phorbol of formula 2:



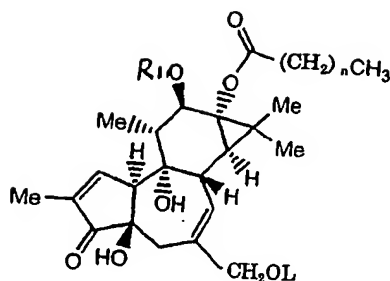
into a group of $-\text{CH}_2\text{OL}$ wherein L is a protective group, to produce a compound of formula



reacting the compound with a compound of $\text{CH}_3(\text{CH}_2)_n\text{COCl}$ wherein n is the same meaning as the definition in claim 1, to produce a compound of formula



reacting the compound with a compound of R_1Cl wherein R_1 is the same meaning as the definition in claim 1, to produce a compound of formula



further converting a group of $-\text{CH}_2\text{OL}$ on the resulting compound into a group of $-\text{CH}_2\text{OH}$.

7. An anti-HIV virus preparation comprising at least one of phorbol derivatives of formula 1 according to claim 1, and at least one of other agents having anti-HIV effect.
8. The anti-HIV virus preparation according to claim 7, characterized in that the other agent having anti-HIV effect is a reverse transcriptase inhibitor.
9. The anti-HIV virus preparation according to claim 7, characterized in that the other agent having anti-HIV effect is an agent that inhibits an integration of DNA mediated by an integrase.
10. The anti-HIV virus preparation according to claim 7, characterized in that the other agent having anti-HIV effect is an agent that suppresses a transcription of provirus.
11. The anti-HIV virus preparation according to claim 7, characterized in that the other agent having anti-HIV effect is an agent that inhibits a synthesis of core protein mediated by a protease.
12. The anti-HIV virus preparation according to claim 7, characterized in that the other agent having anti-HIV effect is an agent that suppresses an assembly and packaging of core proteins.
13. The anti-HIV virus preparation according to claim 7, characterized in that the other agent having anti-HIV effect is an agent that suppresses an aggregation of core proteins and extra-shell proteins.

14. The anti-HIV virus preparation according to claim 7, characterized in that the other agent having anti-HIV effect is an agent that suppresses a maturity of infectious virus particles released and escaped from cell membrane.